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=> d his

     (FILE 'HOME' ENTERED AT 10:21:18 ON 31 OCT 2006)

     FILE 'REGISTRY' ENTERED AT 10:21:32 ON 31 OCT 2006
L1             0 S 145075-81-6 /CN
L2             0 S 145075-81-6/CN
L3             0 S 145075-81-6/CN
L4             1 S 145075-81-6

     FILE 'STNGUIDE' ENTERED AT 10:22:17 ON 31 OCT 2006

     FILE 'CAPLUS, MEDLINE' ENTERED AT 10:22:32 ON 31 OCT 2006
L5            54 S L4
L6             2 S L5 AND OVARIAN CANCER?
L7            10 S L5 AND CANCER?
L8             9 S L5 AND LEUKEMIA?
L9             1 S L5 AND GLIOMA?
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=> d his

     (FILE 'HOME' ENTERED AT 10:21:18 ON 31 OCT 2006)

     FILE 'REGISTRY' ENTERED AT 10:21:32 ON 31 OCT 2006
L1             0 S 145075-81-6 /CN
L2             0 S 145075-81-6/CN
L3             0 S 145075-81-6/CN
L4             1 S 145075-81-6

     FILE 'STNGUIDE' ENTERED AT 10:22:17 ON 31 OCT 2006

     FILE 'CAPLUS, MEDLINE' ENTERED AT 10:22:32 ON 31 OCT 2006
L5            54 S L4
L6             2 S L5 AND OVARIAN CANCER?
L7            10 S L5 AND CANCER?
L8             9 S L5 AND LEUKEMIA?
L9             1 S L5 AND GLIOMA?
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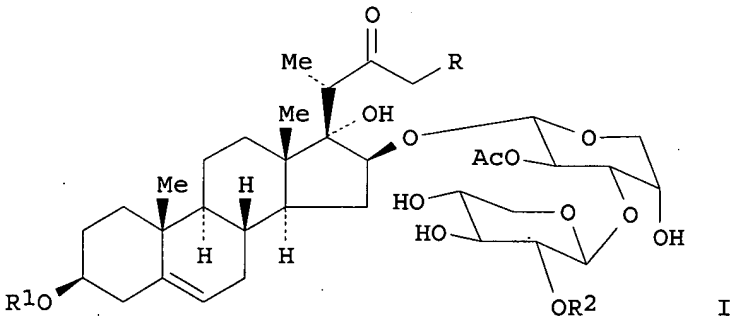
L15 ANSWER 20 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:282114 CAPLUS
DOCUMENT NUMBER: 138:287898
TITLE: Process for preparing antitumor saponin disaccharides via stereoselective 1,4-addition of α-alkoxy vinyl cuprate to enone steroids
INVENTOR(S): Jin, Zhendong; Yu, Wensheng
PATENT ASSIGNEE(S): University of Iowa Research Foundation, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 17 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003069214	A1	20030410	US 2002-213363	20020806
US 6753414	B2	20040622		
PRIORITY APPLN. INFO.:			US 2001-310709P P	20010807
OTHER SOURCE(S):	MARPAT 138:287898			

GI



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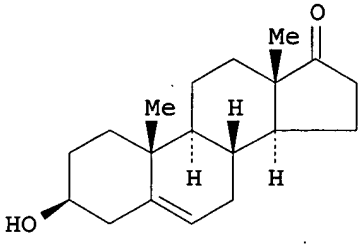


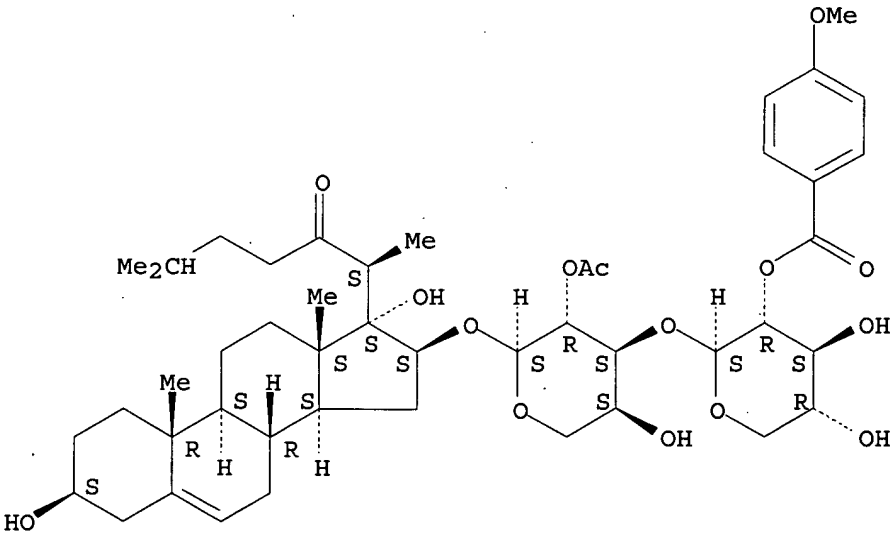
II

AB The invention also provides processes and intermediates useful for preparing compds. of formula I, wherein R is alkyl; R1 is independently H or a hydroxyl protecting group, and R2 is acyl; were prepared from steroid II via stereoselective 1,4-addition of α-alkoxy vinyl cuprate to enone steroids. The new strategy provides stereoselective introduction of the steroid side chain via 1,4-addition of an α-alkoxy vinyl cuprate to 17(20)-en-16-one steroids. On the basis of the strategy, the highly potent anti-tumor natural product antitumor OSW-1 was synthesized in 10 linear operations from steroid II in 28% overall yield.

IT 145075-81-6P, OSW-1
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparing antitumor saponin disaccharides via stereoselective 1,4-addition of α-alkoxy vinyl cuprate to enone steroids)

L15 ANSWER 1 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:265320 CAPLUS
DOCUMENT NUMBER: 144:391258
TITLE: 1. Design and synthesis of carbohydrate cancer vaccines based on biochemical modification of cancer cells. 2. Studies on the total synthesis of an antitumor saponin, OSW-1
AUTHOR(S): Pan, Yanbin
CORPORATE SOURCE: Case Western Reserve Univ., Cleveland, OH, USA
SOURCE: (2005) 336 pp. Avail.: UMI, Order No. DA3176587
From: Diss. Abstr. Int., B 2005, 66(5), 2590
DOCUMENT TYPE: Dissertation
LANGUAGE: English
AB Unavailable
IT 145075-81-6P, OSW-1
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(1 design and synthesis of carbohydrate cancer vaccines based on biochem. modification of cancer cells 2 studies on total synthesis of antitumor saponin, OSW-1)
RN 145075-81-6 CAPLUS
CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

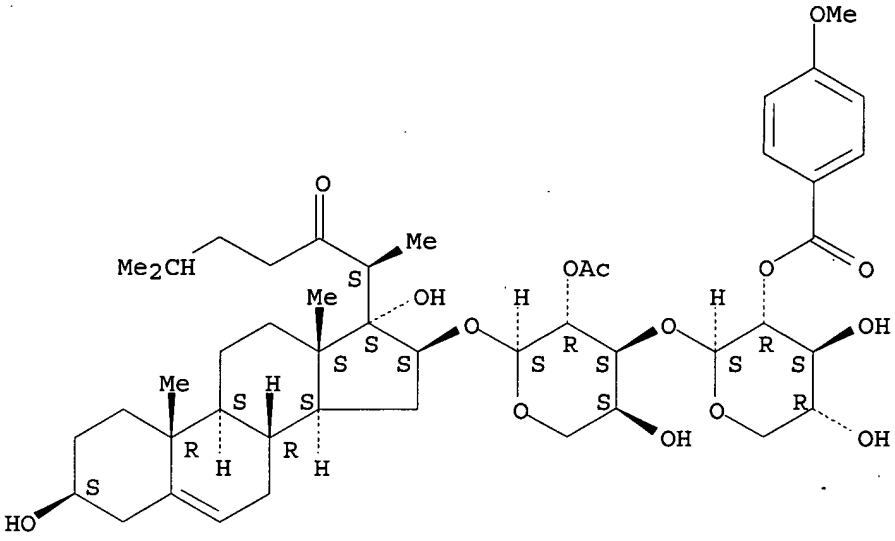
Absolute stereochemistry. Rotation (-).



L15 ANSWER 2 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:1473 CAPLUS
DOCUMENT NUMBER: 144:419171
TITLE: Total syntheses of anti-HIV natural product daurichromenic acid, rhododaurichromanic acids A and B; syntheses of anticancer natural products OSW-1 and its analogs; and studies toward the total synthesis of anticancer natural products superstolide A
AUTHOR(S): Kang, Ying
CORPORATE SOURCE: Univ. of Iowa, Iowa City, IA, USA
SOURCE: (2005) 217 pp. Avail.: UMI, Order No. DA3172408
From: Diss. Abstr. Int., B 2005, 66(4), 2002
DOCUMENT TYPE: Dissertation
LANGUAGE: English

AB Unavailable
IT 145075-81-6P, OSW-1
RL: NPO (Natural product occurrence); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(total syntheses of daurichromenic acid, rhododaurichromanic acids A and B; OSW-1 and its analogs)
RN 145075-81-6 CAPLUS
CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L15 ANSWER 3 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1300875 CAPLUS
DOCUMENT NUMBER: 144:425214
TITLE: OSW-1: a Natural Compound With Potent Anticancer Activity and a Novel Mechanism of Action
AUTHOR(S): Zhou, Yan; Garcia-Prieto, Celia; Carney, Dennis A.; Xu, Rui-Hua; Pelicano, Helene; Kang, Ying; Yu, Wensheng; Lou, Changgang; Kondo, Seiji; Liu, Jinsong; Harris, David M.; Estrov, Zeev; Keating, Michael J.; Jin, Zhendong; Huang, Peng
CORPORATE SOURCE: Departments of Molecular Pathology, The University of Texas M. D. Anderson Cancer Center, Houston, TX, 77030, USA
SOURCE: Journal of the National Cancer Institute (2005), 97(23), 1781-1785
CODEN: JNCIEQ; ISSN: 0027-8874
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The naturally occurring compound 3β,16β,17α-trihydroxycholest-5-en-22-one 16-O-(2-O-4-methoxybenzoyl-β-D-xylopyranosyl)-(1 3)-(2-O-acetyl-α-L-arabinopyranoside) (OSW-1) is found in the bulbs of Ornithogalum saudersiae and is highly cytotoxic against tumor cell lines. Using various human cancer and nonmalignant cell lines, we investigated the anticancer activity and selectivity of OSW-1 and its underlying mechanisms of action. OSW-1 exhibited extremely potent cytotoxic activity against cancer cells in vitro. Nonmalignant cells were statistically significantly less sensitive to OSW-1 than cancer

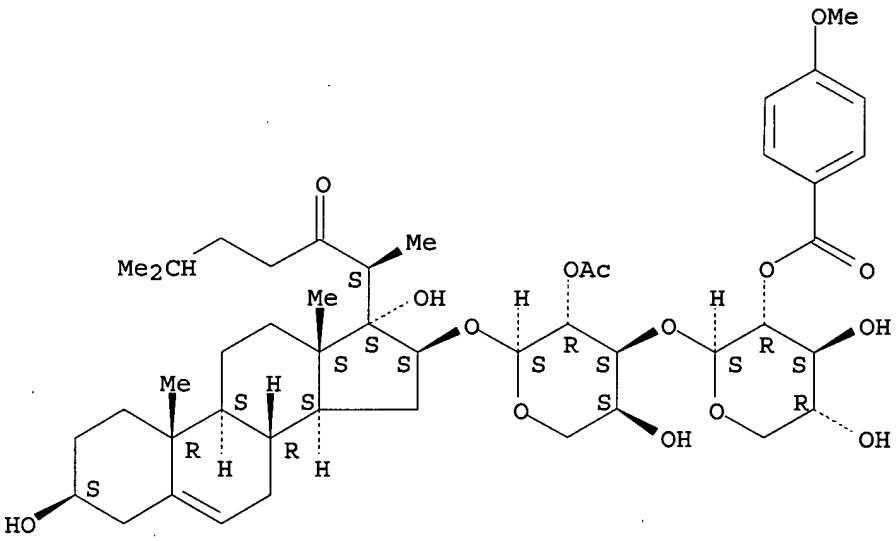
cells, with concns. that cause a 50% loss of cell viability 40-150-fold greater than those observed in malignant cells. Electron microscopy and biochem. analyses revealed that OSW-1 damaged the mitochondrial membrane and cristae in both human leukemia and pancreatic cancer cells, leading to the loss of transmembrane potential, increase of cytosolic calcium, and activation of calcium-dependent apoptosis. Clones of leukemia cells with mitochondrial DNA defects and respiration deficiency that had adapted the ability to survive in culture without mitochondrial respiration also were resistant to OSW-1. In vitro anal. revealed that OSW-1 effectively killed primary leukemia cells from chronic lymphocytic leukemia patients with disease refractory to fludarabine. The promising anticancer activity of OSW-1 and its unique mechanism of action make this compound worthy of further investigation for its potential to overcome drug resistance.

IT 145075-81-6, OSW-1
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(OSW-1 damaged mitochondrial membrane and cristae, leading to loss of transmembrane potential, increased cytosolic calcium and activation of calcium-dependent apoptosis in both human leukemia tissue and in pancreatic cancer cell line)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1287404 CAPLUS
DOCUMENT NUMBER: 144:32043
TITLE: Apoptosis induced by a new member of saponin family is mediated through caspase-8-dependent cleavage of Bcl-2
AUTHOR(S): Zhu, Jianbei; Xiong, Lei; Yu, Biao; Wu, Jiarui
CORPORATE SOURCE: Laboratory of Proteomics, Institute of Biochemistry and Cell Biology, Shanghai Institutes for Biological Sciences, Chinese Academy of Sciences, Shanghai, Peop. Rep. China
SOURCE: Molecular Pharmacology (2005), 68(6), 1831-1838
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

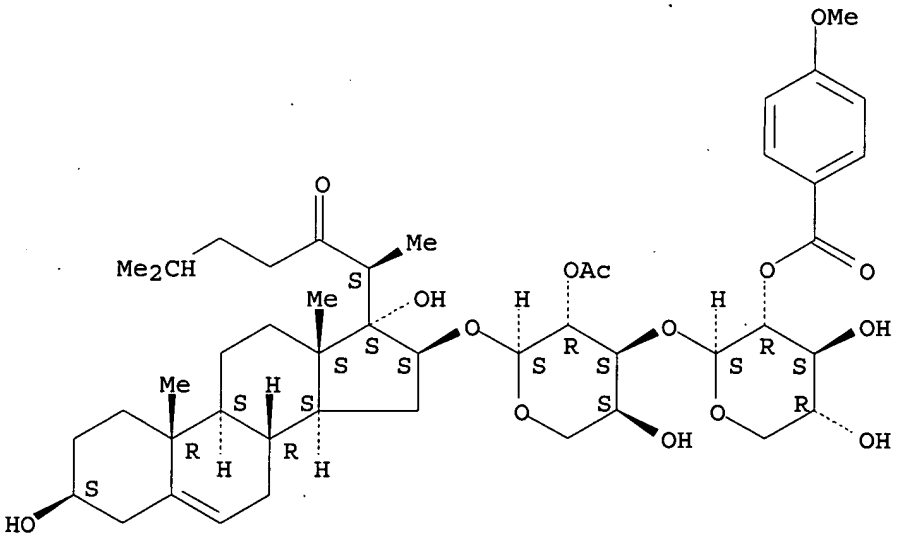
AB OSW-1 is a new member of cholestane saponin family, which is cytotoxic against several types of malignant cells. We reported herein that OSW-1 induced apoptosis of mammalian cells in a concentration- and time-dependent manner. The drug-induced apoptosis was mediated through the mitochondrial pathway, involving the cleavage of Bcl-2. This drug-induced Bcl-2 cleavage in Chinese hamster ovary (CHO) cells could be suppressed either by dominant-neg. caspase-8 or by a caspase-8 inhibitor, suggesting that the Bcl-2 cleavage is dependent on caspase-8. In contrast, the Bcl-2 cleavage was independent of caspase-3 activity. The inhibition of caspase-8 activity also resulted in the reduction of apoptotic cells, indicating that Bcl-2 cleavage induced by caspase-8 promotes the progression of apoptosis. The involvement of the caspase-8 activity in the processes of the OSW-1-induced apoptosis was further examined by using caspase-8-deficient Jurkat T cells. It was found that the caspase-8-deficient cells were resistant to OSW-1-induced Bcl-2 cleavage or apoptosis. Furthermore, the small subunit of caspase-8 was found to interact with Bcl-2 as determined by yeast two-hybrid and coimmunopptn. assays. Overexpression of caspase-8 small subunit reduced the cleavage of Bcl-2 and inhibited the apoptosis induced by OSW-1. Taken together, these results demonstrate that OSW-1 is capable of inducing apoptosis in mammalian cells, in which the caspase-8-dependent cleavage of Bcl-2 plays an important role.

IT 145075-81-6, OSW-1
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(saponin OSW1 induction of apoptosis mediated through caspase-8-dependent cleavage of Bcl-2)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1184911 CAPLUS
DOCUMENT NUMBER: 144:23057

TITLE: OSW Saponins: Facile Synthesis toward a New Type of Structures with Potent Antitumor Activities

AUTHOR(S): Shi, Bingfeng; Tang, Pingping; Hu, Xiaoyi; Liu, Jun O.; Yu, Biao

CORPORATE SOURCE: State Key Laboratory of Bioorganic and Natural Products Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China

SOURCE: Journal of Organic Chemistry (2005), 70(25), 10354-10367
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

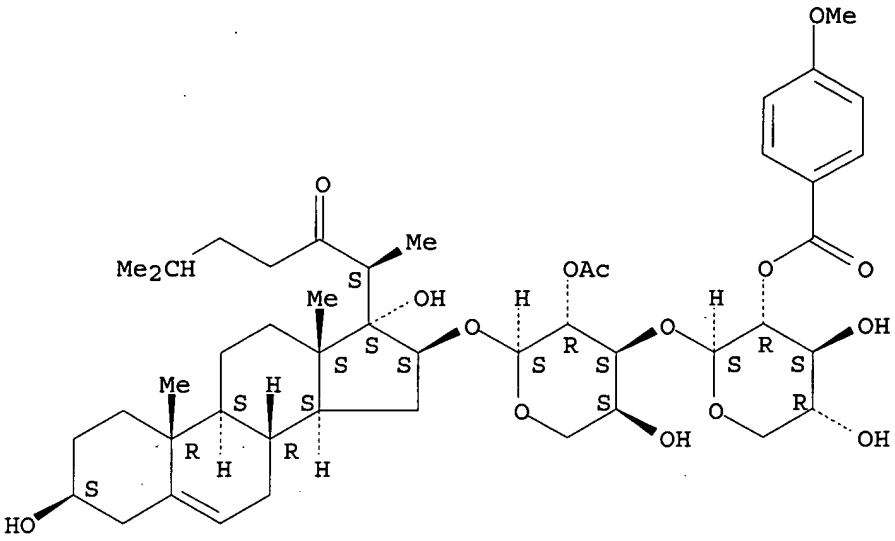
AB OSW saponins, featuring a 16β,17α-dihydroxycholest-22-one aglycon and an acylated β-D-xylopyranosyl-(1→3)-α-L-arabinopyranosyl residue attached to the 16-hydroxyl group, have recently been discovered from a group of lily plants, which show potent antitumor activities with a novel mechanism of action. This paper describes an aldol approach to the stereoselective construction of the 16α,17α-dihydroxycholest-22-one structure from 16α-hydroxy-5-androsten-17-ones and propionates. Elaboration of the aldol adducts toward OSW-1, involving installation of the isoamyl ketone side chain, inversion of the 16-hydroxyl configuration, and selective protection of the C22-oxy function, has been explored and accomplished. In particular, the present route was found convenient for the synthesis of OSW saponin analogs with a C22-ester side chain. Thus, the 23-oxa-analog of OSW-1 was prepared starting from the industrial dehydroisoandrosterone in a linear eight-step sequence and in 26% overall yield. Analogs with a variety of modified side chains were prepared, via aldol condensation with propionates of varying length, thiopropionate, and acetate or via aminolysis of the 22,16-lactone for preparation of the 23-N-analogs. Cross metathesis (CM) reaction was also found feasible for modification at the final stage. Valuable structure-activity relationships, together with the practical synthetic approach, have thus been provided to set a new stage for further studies on this new type of antitumor structures.

IT 145075-81-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(stereoselective synthesis and antitumor structure-activity relationship of steroid glycosides and OSW-1 via aldol condensation and aminolysis reactions)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



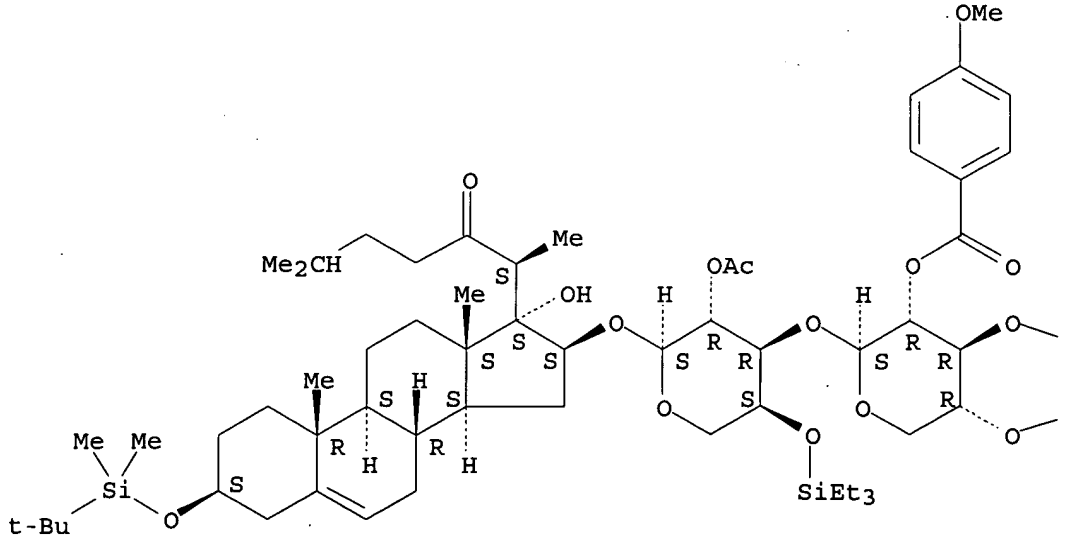
IT 374617-95-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective synthesis and antitumor structure-activity relationship of steroid glycosides and OSW-1 via aldol condensation and aminolysis reactions)

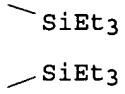
RN 374617-95-5 CAPLUS

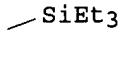
CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-3,4-bis-O-(triethylsilyl)-β-D-xylopyranosyl]-4-O-(triethylsilyl)-α-L-arabinopyranosyl]oxy]-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A







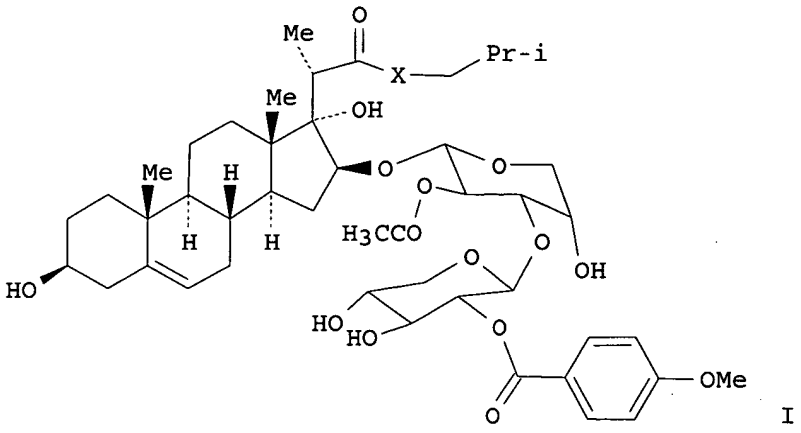
REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:626007 CAPLUS
DOCUMENT NUMBER: 143:194175
TITLE: Preparation and antitumor activity of heteroatom analog of OSW-1
INVENTOR(S): Yu, Biao; Shi, Bingfeng
PATENT ASSIGNEE(S): Shanghai Inst. of Organic Chemistry, CAS, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1556111	A	20041222	CN 2004-10015744	20040109
WO 2005082924	A1	20050909	WO 2005-CN5	20050104

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: CN 2004-10015744 A 20040109
OTHER SOURCE(S): CASREACT 143:194175; MARPAT 143:194175
GI



I

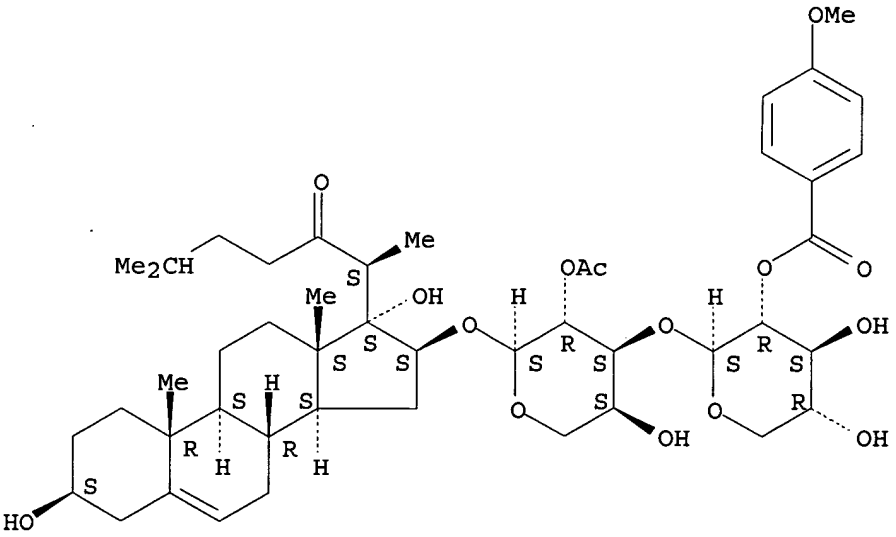
AB The invention discloses synthetic methods to prepare heteroatom analogs (I; X = O, NH) of OSW-1. I possess antineoplastic activity.

IT 145075-81-6DP, Osw-1, heteroatom analogs
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of heteroatom analogs of OSW-1 with antitumor activity)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L15 ANSWER 7 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:593828 CAPLUS

DOCUMENT NUMBER: 143:120185

TITLE: Stability of an antitumor OSW-1 encapsulated into ganglioside GM3 (GM3) liposomes, and accumulation of the OSW-1/GM3 liposomes on melanoma cells

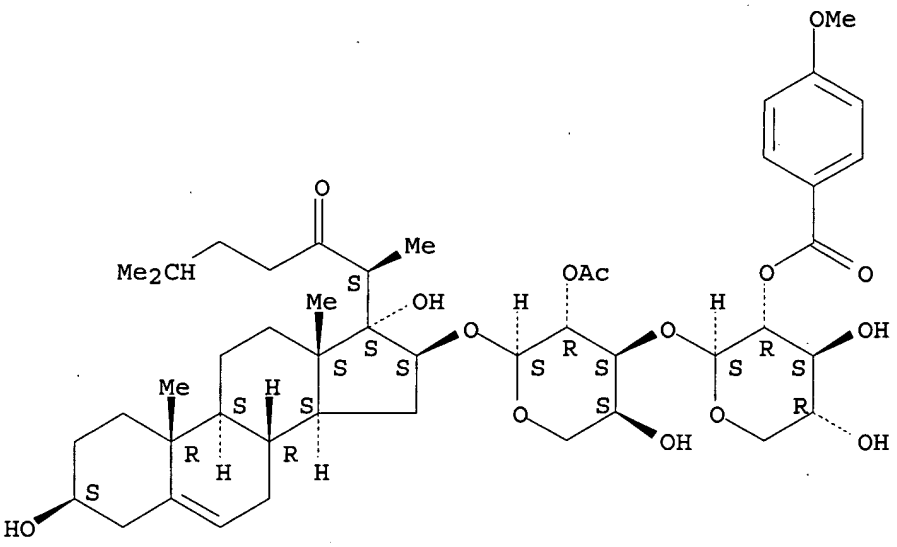
AUTHOR(S): Yokoyama, Shoko; Takeda, Tadahiro; Sashida, Yutaka

CORPORATE SOURCE: Sch. Pharm. Sci., Kyushu Univ. Health Welfare, Nobeoka, 882-8508, Japan

SOURCE: Material Technology (Tokyo, Japan) (2005), 23(2), 85-89
CODEN: MTECFQ

PUBLISHER: Zairyo Gijutsu Kenkyu Kyokai
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The hydrolysis of OSW-1, natural product having an antitumor activity, in a mixed solvent of phosphate buffered saline (PBS, pH 7.4)/ethanol (1:1) was kinetically measured at 25°, and the stabilizing effect of ganglioside GM3 (GM3) liposomes on the hydrolysis of OSW-1 was investigated at pH 7.4 (PBS solution) and 25°. The hydrolysis of OSW-1 was suppressed by encapsulating OSW-1 into the GM3 liposomes. The rate constant for the hydrolysis of free OSW-1 was 3.264 x 10-5/ s, while that of OSW-1 encapsulated in the GM3 liposomes was 1.776 x 10-6/s. The OSW-1/GM3 liposomes effectively accumulated on melanoma cells, penetrated into the cells, and caused cell death.
IT 145075-81-6, OSW-1
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stability of antitumor OSW-1 encapsulated into ganglioside GM3 liposomes and accumulation of OSW-1/GM3 liposomes on melanoma cells)
RN 145075-81-6 CAPLUS
CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L15 ANSWER 8 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:593826 CAPLUS
DOCUMENT NUMBER: 143:120165
TITLE: Distribution of an antitumor natural product OSW-1 in ganglioside GM3-phospholipid membranes
AUTHOR(S): Yokoyama, Shoko; Ohtsuka, Isao; Takeda, Tadahiro; Sashida, Yutaka
CORPORATE SOURCE: Sch. Pharm. Sci., Kyushu Univ. Health Welfare, Nobeoka, 882-8508, Japan
SOURCE: Material Technology (Tokyo, Japan) (2005), 23(1), 54-58
CODEN: MTECFQ
PUBLISHER: Zairyo Gijutsu Kenkyu Kyokai
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The distribution of OSW-1 having antitumor activity, in

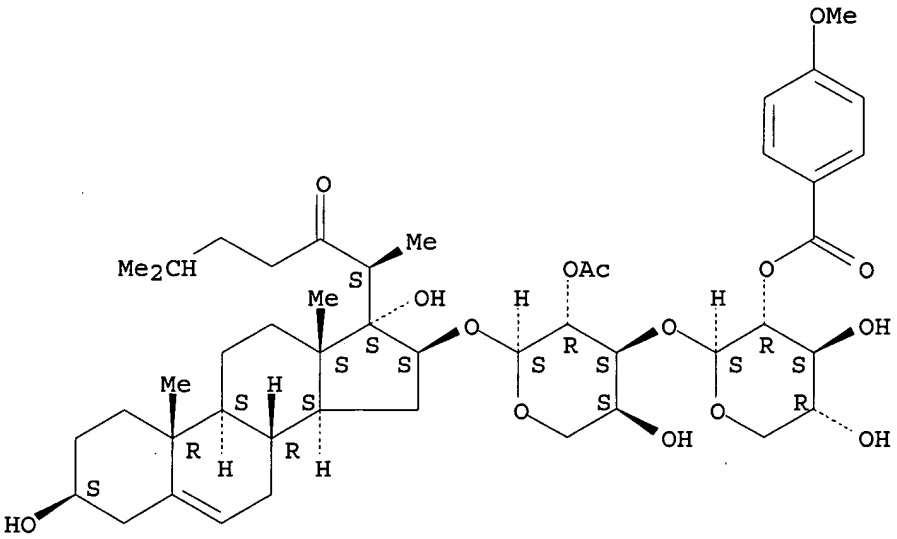
L-α-dipalmitoylphosphatidylcholine (DPPC) and ganglioside GM3 (GM3) monolayers, was observed by atomic force microscopy (AFM). As a result, OSW-1 was not observed to be distributed in the DPPC monolayer, while it was distributed in the GM3 monolayer. Furthermore, a strongly attractive interaction between OSW-1 and GM3 was observed and thus the membrane structure of GM3 changed. In the mixed GM3/DPPC (2:8) monolayers, OSW-1 was distributed in the GM3-rich phase (percolation-pattern region) in the mixed membrane. The specific distribution of OSW-1 in the GM3 membranes and the strongly attractive interaction between OSW-1 and GM3 seem to be related to its potent activity against cancer cells.

IT 145075-81-6, OSW-1
RL: BSU (Biological study, unclassified); DMA (Drug mechanism of action); NPO (Natural product occurrence); PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); RACT (Reactant or reagent); USES (Uses)
(distribution of antitumor natural product OSW-1 in ganglioside GM3-phospholipid membranes)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L15 ANSWER 9 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:246632 CAPLUS

DOCUMENT NUMBER: 142:463931

TITLE: Synthesis and antitumor activity of the estrane analogue of OSW-1

AUTHOR(S): Matsuya, Yuji; Masuda, Seiji; Ohsawa, Noriko; Adam, Solange; Tschamber, Theophile; Eustache, Jacques; Kamoshita, Keiichi; Sukenaga, Yoshikazu; Nemoto, Hideo

CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Toyama Medical and Pharmaceutical University, Toyama, 930-0194, Japan

SOURCE: European Journal of Organic Chemistry (2005), (5), 803-808
CODEN: EJOCFK; ISSN: 1434-193X

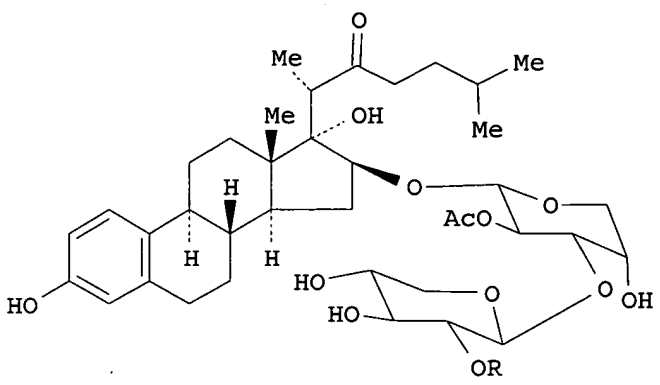
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:463931

GI



I

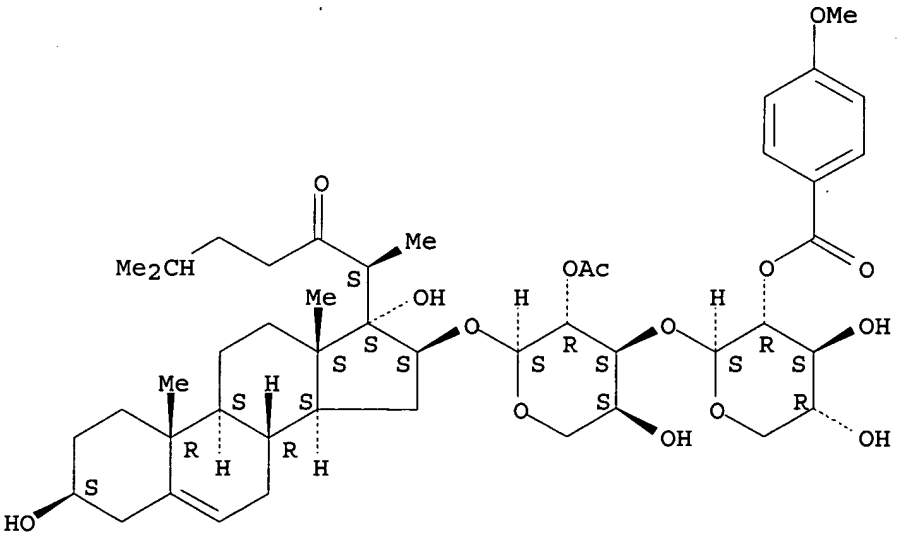
AB The estrane analog I [R = COC6H4OMe-4 (II)] of OSW-1, a potent antitumor natural saponin, was efficiently synthesized from estrone in 12 steps. The cytostatic activity of the II against several human malignant tumor cells was examined and compared to those of the natural OSW-1 and cisplatin, the results suggesting that the modification of the steroidal component could be an effective approach in the search for new candidates of anticancer drugs.

IT 145075-81-6, OSW-1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and antitumor activity of the estrane analog of OSW-1)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:902089 CAPLUS
DOCUMENT NUMBER: 141:395754

TITLE: Preparation of orsaponin [3β, 16β, 17α-trihydroxycholest-5-en-22-one 16-O-(2-O-4-methoxybenzoyl-β-D-xylopyranosyl)-(1->3)-(2-O-acetyl-α-L-arabinopyranoside)] and its derivatives for their use as cancer therapeutics

INVENTOR(S): Haung, Peng; Keating, Michael J.; Jin, Zhendong
PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA; University of Iowa Research Foundation

SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091484	A2	20041028	WO 2004-US10676	20040407
WO 2004091484	A3	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005004044	A1	20050106	US 2004-819479	20040407

PRIORITY APPLN. INFO.: US 2003-460946P P 20030407
OTHER SOURCE(S): MARPAT 141:395754
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

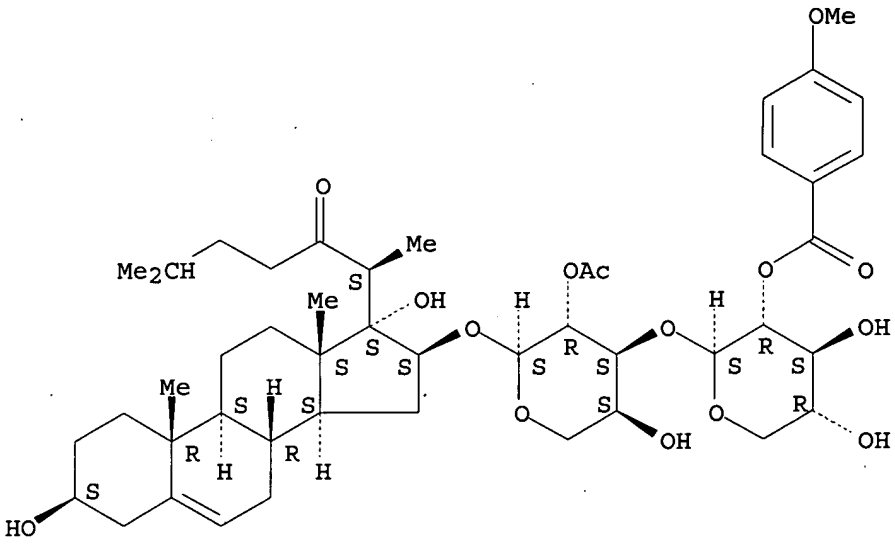
AB The present invention concerns methods for treating pancreatic cancers, leukemias, colon cancers, malignant gliomas and other brain tumors, and ovarian cancers which comprise providing to an individual compns. comprising an orsaponin or its derivs., such as I [R1 = H, OH, OMe; R2 = H, OH, ester, amide; R3, R4 = H, OH; R3R4 = double bond; R5 = H, disaccharide, monosaccharide, trisaccharide; R6 = disaccharide, monosaccharide, trisaccharide; R7, R8 = Me, alkyl; R9 = α-Me, β-Me]. The invention also provides processes and intermediates useful for preparing compds. of formula I and various therapeutically useful derivs. Thus, orsaponin (II) was prepared via a multistep reaction sequence starting from 5-pregnen-16α,17α-epoxy-3β-ol-20-one, 1,2,3,4-tetra-O-acetyl-L-arabinose, 4-methoxybenzoyl chloride and 1,2,3,4-tetra-O-acetyl-D-xylopyranose. II exhibited an IC50 = <0.1 nM in human leukemia cells (ML-1) and human lymphoma cells (Raji).

IT 145075-81-6P, Orsaponin
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of orsaponin and its derivs. for their use as cancer therapeutics)

RN 145075-81-6 CAPLUS

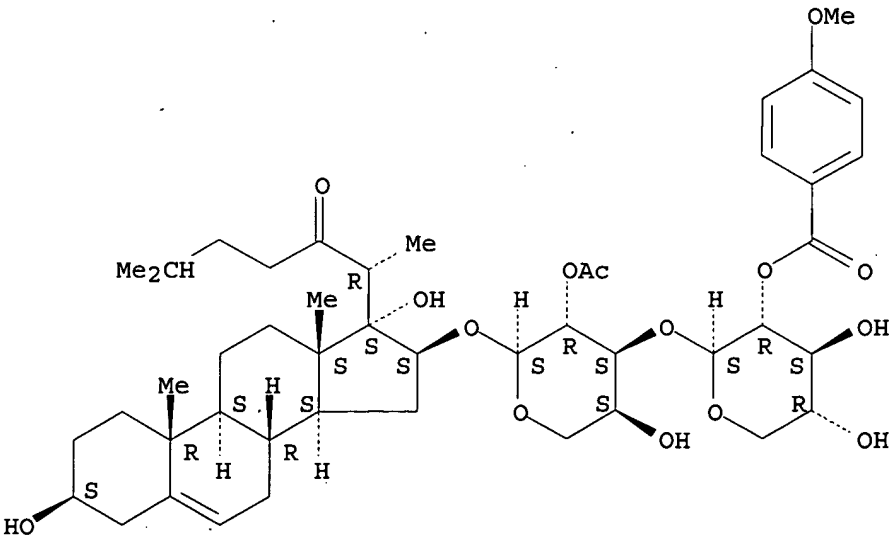
CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 442631-67-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of orsaponin and its derivs. for their use as cancer therapeutics)
RN 442631-67-6 CAPLUS
CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β,20R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L15 ANSWER 11 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:762999 CAPLUS
DOCUMENT NUMBER: 142:94021
TITLE: Synthesis of 5,6-dihydro-OSW-1 and its antitumor activities
AUTHOR(S): Deng, Le-Hua; Wu, Hao; Yu, Biao; Jiang, Man-Rong; Wu,

Jia-Rui

CORPORATE SOURCE: State Key Laboratory of Bio-organic and Natural Products Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China

SOURCE: Chinese Journal of Chemistry (2004), 22(9), 994-998
CODEN: CJOCEV; ISSN: 1001-604X

PUBLISHER: Science Press
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:94021

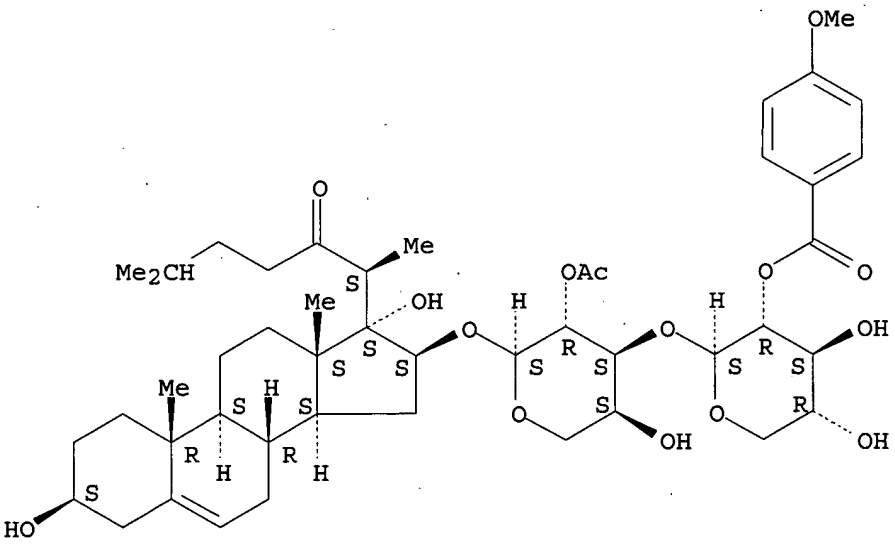
AB 5,6-Dihydro-OSW-1 was synthesized following our previous procedure for the total synthesis of OSW-1. This compound demonstrated slightly stronger potency than that of OSW-1 against the growth of cancer cells.

IT 145075-81-6P, Osw-1
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 5,6-dihydro-OSW-1 from 3β-hydroxyandrost-5-en-17-one and its antitumor activity)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



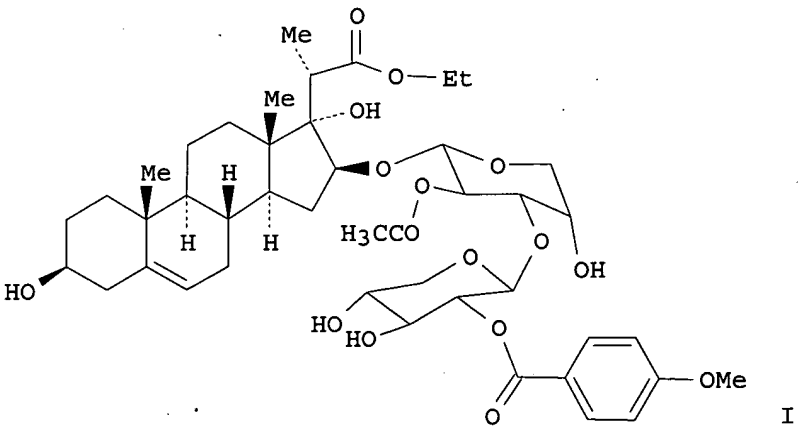
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 12 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:747655 CAPLUS
DOCUMENT NUMBER: 141:395729
TITLE: Medicinal chemistry: 23-Oxa-analogs of OSW-1: Efficient synthesis and extremely potent antitumor activity
AUTHOR(S): Shi, Bingfeng; Wu, Hao; Yu, Biao; Wu, Jiarui
CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China

SOURCE: Angewandte Chemie, International Edition (2004), 43(33), 4324-4327
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:395729
GI



I

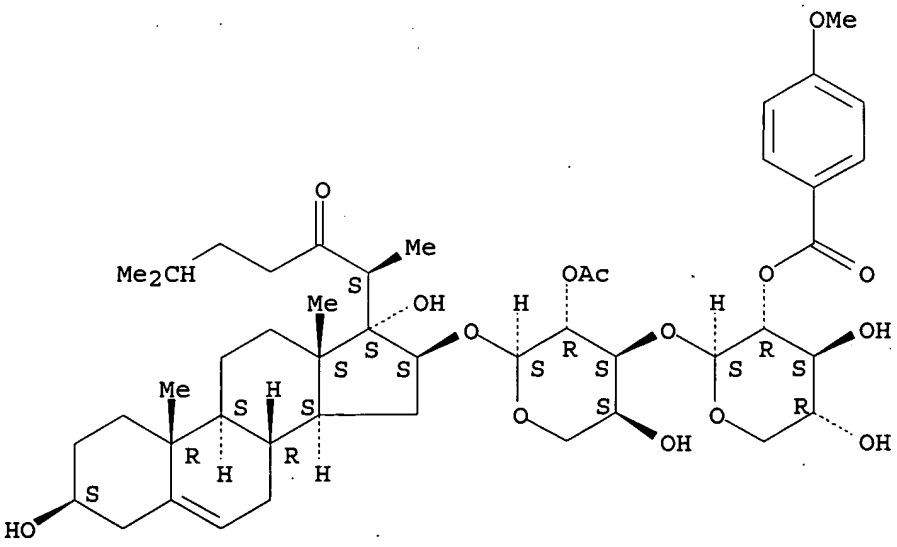
AB An aldol condensation is the key to the eight-step linear synthesis of 23-oxa analogs of OSW-1, e.g. I, in more than 20% overall yield from the industrially produced steroid. Compound II is up to 2000 times more potent than cisplatin as an inhibitor of tumor cell growth.

IT 145075-81-6DP, OSW-1, 23-Oxa-analogs
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(medicinal chemical 23-oxa-analogs of OSW-1 efficient synthesis and extremely potent antitumor activity)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

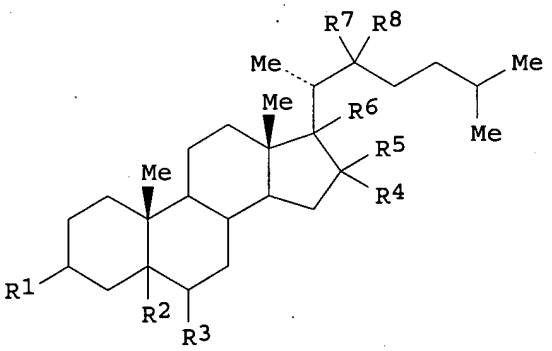


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

L15 ANSWER 13 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:668101 CAPLUS
DOCUMENT NUMBER: 142:336521
TITLE: Synthesis of steroids from diogenin and application as intermediate for synthesis of OSW-1
INVENTOR(S): Tian, Weisheng; Xu, Qihai; Peng, Xiaowen
PATENT ASSIGNEE(S): Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 20 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1405176	A	20030326	CN 2002-145066	20021105
PRIORITY APPLN. INFO.:			CN 2002-145066	20021105

OTHER SOURCE(S): CASREACT 142:336521; MARPAT 142:336521
GI



I

AB The steroids I (R1 = OH, OAc, OMs, OTs, OTBS, or OTBDPS, R2 = X, or R1 + R2 = tribasic-pentabasic ring; R2 + R3 = double bond, or R3 = OH, OAc, OMs, OTs, OTBS, OTBDPS, or X; R4 = H, OH, SPh, or S(CH2)nSAc, R5 = SPh, or R4 + R5 = S(CH2)nS or CO; n = 2 or 3; R5 + R6 = double bond, or R5 + R7 = ether group and R6 = H; and R7 + R8 = CO) are synthesized from diosgenin by allowing to react with mercaptan in aprotic solvent in the presence of Lewis acid at 0-50° to obtain 5(6)-furosten-3β-ol derivative, then desulfurization with Raney Ni in polar solvent under refluxing for 1-3 d to obtain 3β-hydroxy-5(6)-furostene, sulfonylation with methanesulfonyl chloride or p-toluenesulfonyl chloride in aprotic solvent in the presence of organic base at 0- 50. Rearrangement with acetic anhydride in the presence of organic base for 5-15 h to obtain 6β-acetoxy-3α,5α-cyclofurostan, oxidization with oxone/NaHCO3 in polar solvent and EDTA-Na2 buffer at (-10)-50° for 2-25 h to obtain 6β-Acetoxy-16-α-hydroxy-3α,5α-cyclofurostan and 6β-acetoxy-3α,5α-cyclocholane-16,22-dione, further rearrangement in polar solvent in the presence of p-toluenesulfonic acid under refluxing for 10-60 min to obtain chol-5(6)-en-3β-ol-16,22-dione, acetylation with acetic anhydride at 100-140° for 10-60 min, then condensation with mercaptan in organic solvent in the presence of Lewis acid at 0-50° for 1-4 h, and desulfurization in polar solvent in the presence of Raney Ni at

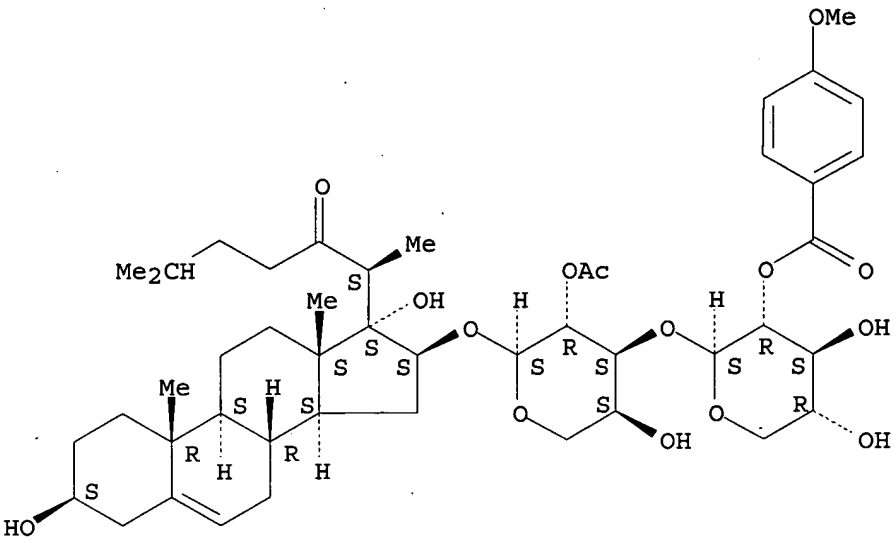
25-100° for 0.5-5 h. The steroid compound can be use to synthesize natural product OSW-1 and its derivative OsO4.

IT 145075-81-6P, OSW-1
RL: PNU (Preparation, unclassified); PREP (Preparation)
(synthesis of steroids from diogenin as precursor of OSW-1)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L15 ANSWER 14 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:403914 CAPLUS

DOCUMENT NUMBER: 141:123820

TITLE: Synthesis of analogues of a potent antitumor saponin OSW-1

AUTHOR(S): Morzycki, Jacek W.; Wojtkielewicz, Agnieszka; Wolczynski, Slawomir

CORPORATE SOURCE: Institute of Chemistry, University of Bialystok, Bialystok, 15-443, Pol.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(12), 3323-3326
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:123820

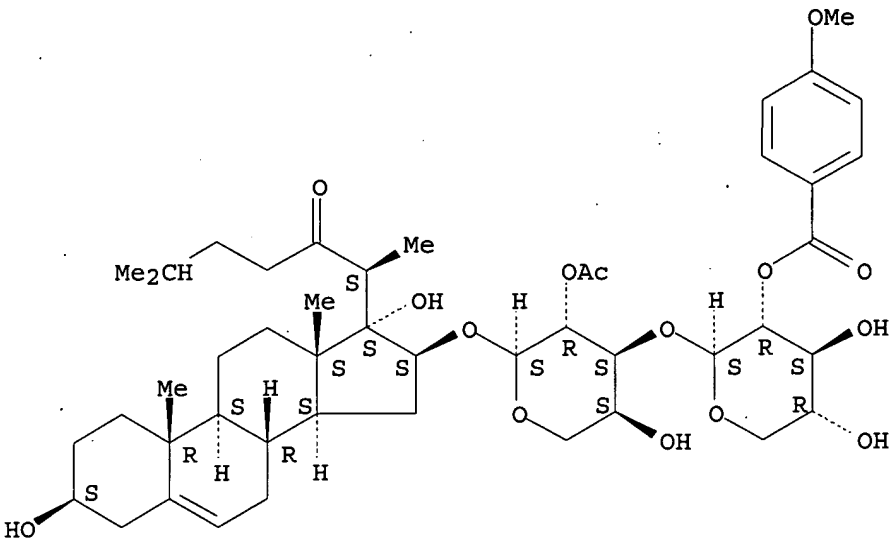
AB A series of side chain analogs, a 22-glycosylated isomer, and 16β-O-L-arabinosyl or 16β-O-D-xylosyl analogs of OSW-1 were synthesized. All analogs were found to be less cytotoxic against breast and endometrial cancer cell lines than the natural product.

IT 145075-81-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. activity of analogs of potent antitumor saponin OSW-1)

RN 145075-81-6 CAPLUS

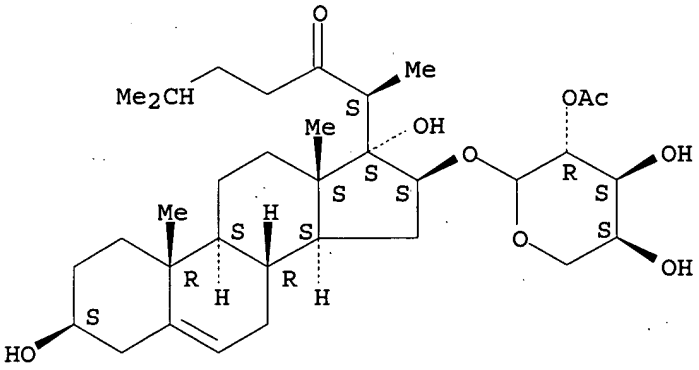
CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



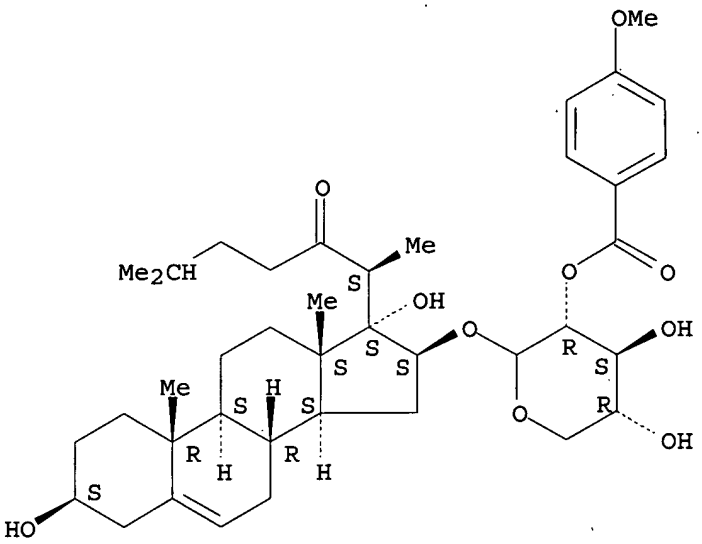
IT 721959-18-8P 721959-19-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and biol. activity of analogs of potent antitumor saponin OSW-1)
RN 721959-18-8 CAPLUS
CN Cholest-5-en-22-one, 16-[(2-O-acetyl-L-arabinopyranosyl)oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



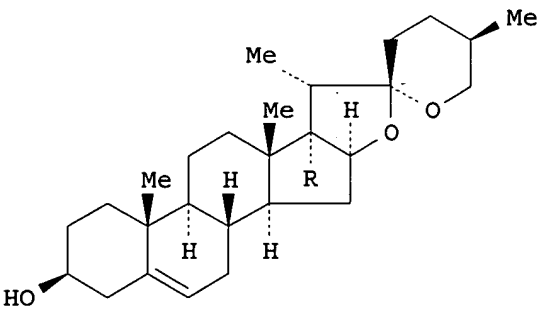
RN 721959-19-9 CAPLUS
CN Cholest-5-en-22-one, 3,17-dihydroxy-16-[[2-O-(4-methoxybenzoyl)-D-xylopyranosyl]oxy]-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 15 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:374909 CAPLUS
DOCUMENT NUMBER: 141:123810
TITLE: Synthesis of pennogenin utilizing the intact skeleton of diosgenin
AUTHOR(S): Tian, Weisheng; Xu, Qihai; Chen, Ling; Zhao, Chunfeng
CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
SOURCE: Science in China, Series B: Chemistry (2004), 47(2), 142-144
CODEN: SCBCFQ; ISSN: 1006-9291
PUBLISHER: Science in China Press
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:123810
GI



I

AB The first synthesis of pennogenin I (R = OH), an aglycon of bioactive components of Chinese traditional medicine named "Chonglou"(Paris), starting from diosgenin, was reported, and displays a new strategy of utilizing the resource compds. According to this new strategy, the full and rational utilization of the intact skeleton and functional groups of starting material was realized in the conversion of diosgenin I (R = H) to pennogenin. The key step for synthesis of pennogenin was the

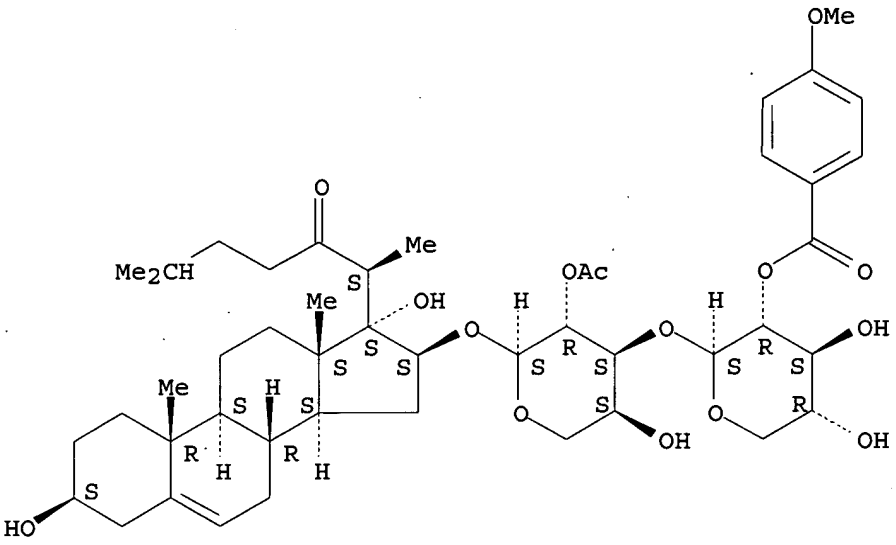
regioselective transformation of cholest-5-en-16,22-dion-3,26-diol to cholest-5,16-dien-22-on-3,26-diol, which can be used to synthesize other bioactive steroids, such as cephalostatin 1 analogs and OSW-1.

IT 145075-81-6P, OSW-1
RL: PNU (Preparation, unclassified); PREP (Preparation)
(synthesis of pennogenin via a regioselective hydroxylation sequence utilizing the intact skeleton of diosgenin)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 16 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:928796 CAPLUS

DOCUMENT NUMBER: 140:128546

TITLE: A new strategy for synthesizing the steroids with side chains from steroidal sapogenins: synthesis of the aglycone of OSW-1 by using the intact skeleton of diosgenin

AUTHOR(S): Xu, Qi-Hai; Peng, Xiao-Wen; Tian, Wei-Sheng

CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, The Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China

SOURCE: Tetrahedron Letters (2003), 44(52), 9375-9377
CODEN: TELEAY; ISSN: 0040-4039

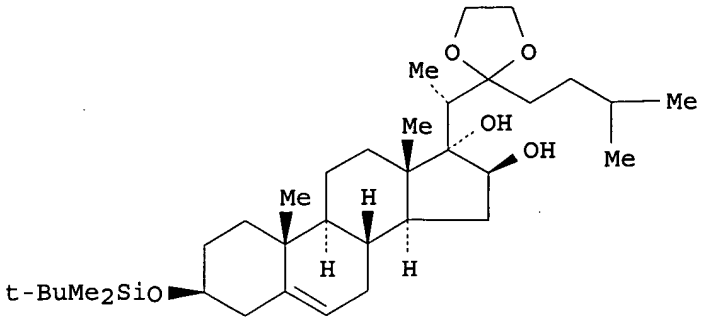
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:128546

GI



I

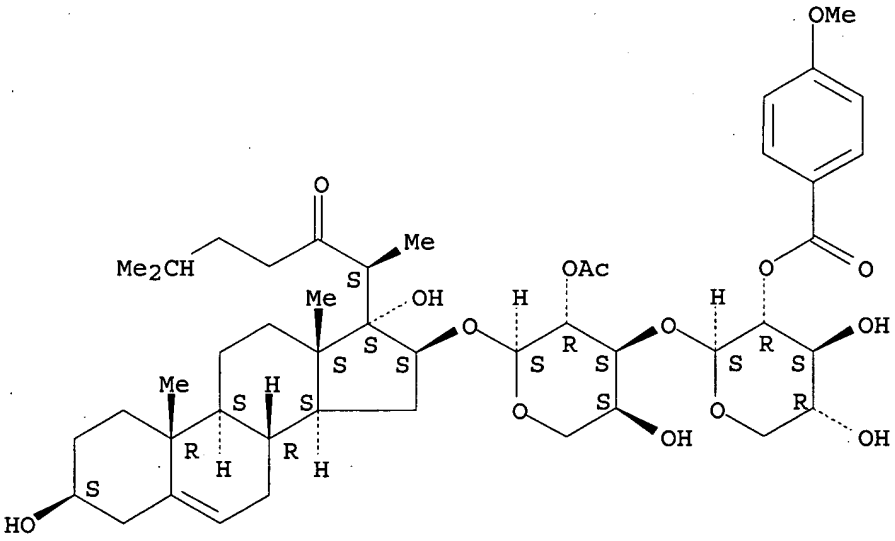
AB The protected aglycon I of saponin OSW-1, a new antitumor natural product, was synthesized in 13 linear steps in 9.5% overall yield by utilizing the intact skeleton of diosgenin. This strategy demonstrated a higher efficiency than the routine synthesis of steroids with side chains.

IT 145075-81-6P, OSW-1
RL: PNU (Preparation, unclassified); PREP (Preparation)
(new strategy for synthesizing the steroids with side chains from steroidal sapogenins, synthesis of aglycon of OSW-1 by using the intact skeleton of diosgenin)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 17 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:613010 CAPLUS

DOCUMENT NUMBER: 140:14812

TITLE: OSW-1 related compounds from the bulbs of Ornithogalum thyrsoides and their cytostatic activity on HL-60 cells

AUTHOR(S): Kuroda, Minpei; Hasegawa, Fusako; Yokosuka, Akihito; Mimaki, Yoshihiro; Sashida, Yutaka

CORPORATE SOURCE: School of Pharm., Tokyo Univ. of Pharm. and Life Sci., Japan

SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (2001), 43rd, 371-376
CODEN: TYKYDS
PUBLISHER: Nippon Kagakkai
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB An acylated cholestane diglycoside, tentatively named OSW-1, isolated by us from the bulbs of Omithogalum saundersiae (Liliaceae), has been found to show potent cytotoxicity against a variety of tumor cell culture lines and exptl. animal tumors. During our going project focused on higher-plant antineoplastic constituents, we undertook a phytochem. investigation of the methanolic extract of Omithogalum thyrsoides Jacg. This resulted in the isolation of eleven cholestane glycosides (1-11) based upon 3β, 16β, 17α-trihydroxycholest-5-en 22-one, including eight new compds. (4-11). The structures of 4-11 were determined by spectroscopic anal., including 2D NNW spectroscopic data, and the results of acid- and alkaline catalyzed hydrolysis. The isolated compds. were evaluated for cytostatic activity on leukemia HL-60 cells. The cytostatic activity of 4 (IC50: 0.00015 μM) was as potent as that of OSW-1. The cytostatic activities of 6 and 7, having an addnl. glucosyl unit at C-6 of the terminal glucosyl moiety of 3 and 4, resp., were less potent than that of 4 by about 3 orders of magnitude. However, further glycosylation of the C-4" hydroxyl group of the terminal glucosyl moiety of 6 and 7 resulted in no discernible effects on the activity (3: 0.00048, 4: 0.00015→6: 0.66, 7: 0.56-9: 0.53, 10: 0.54 (IC50, μM)). The detailed cytostatic-structure relationships of the OSW-1 related compds. are inclusively reported.

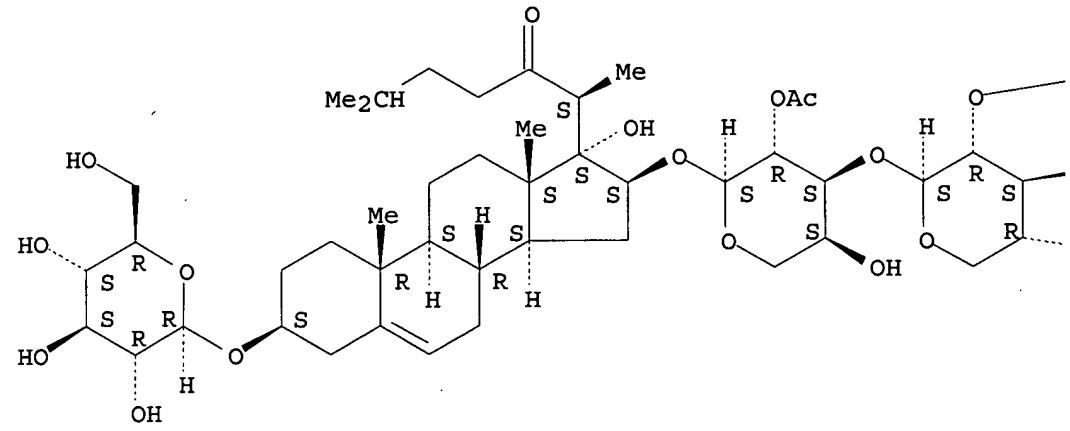
IT 263570-74-7P 263570-75-8P 365461-51-4P
474125-90-1P 474125-91-2P 474125-92-3P
474125-93-4P 474125-94-5P 474125-95-6P
474125-96-7P 629617-54-5P
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(OSW-1 related antitumor compds. from the bulbs of Ornithogalum thyrsoides and their cytostatic activity on HL-60 cells)

RN 263570-74-7 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(3,4-dimethoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3-(β-D-glucopyranosyloxy)-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



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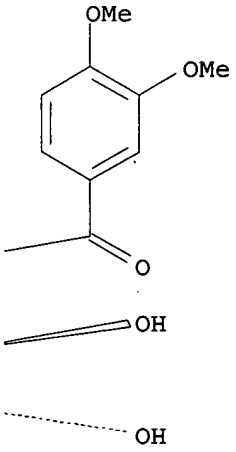
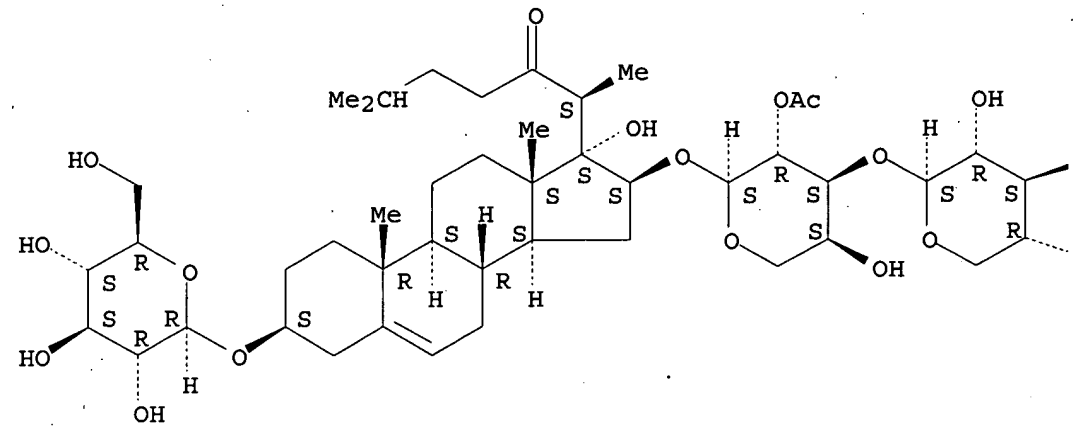


RN 263570-75-8 CAPLUS
CN Cholest-5-en-22-one, 16-[(2-O-acetyl-3-O-β-D-xylopyranosyl-α-L-arabinopyranosyl)oxy]-3-(β-D-glucopyranosyloxy)-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

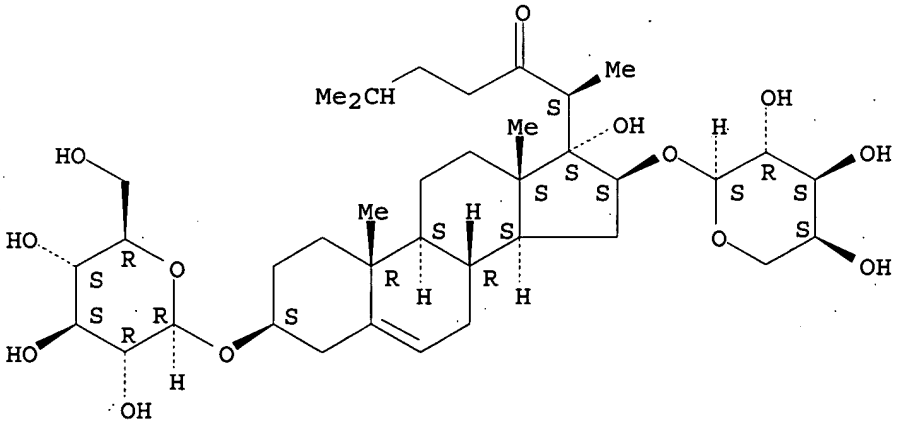
PAGE 1-A



PAGE 1-B

RN 365461-51-4 CAPLUS
CN Cholest-5-en-22-one, 16-(α-L-arabinopyranosyloxy)-3-(β-D-glucopyranosyloxy)-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

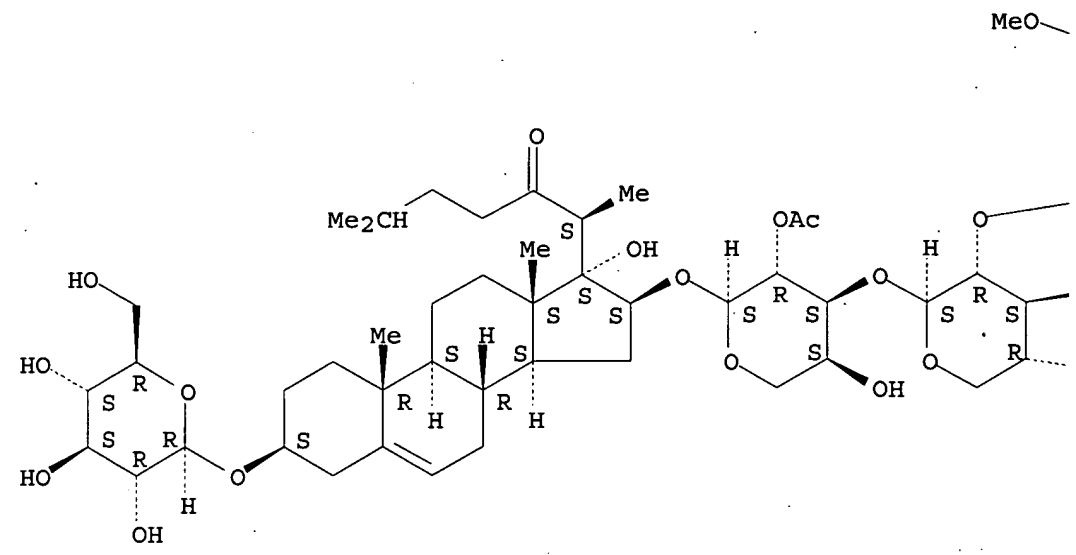
Absolute stereochemistry. Rotation (-).



RN 474125-90-1 CAPLUS
CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(3,4,5-trimethoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3-(β-D-glucopyranosyloxy)-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



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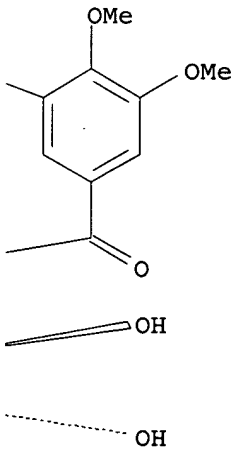
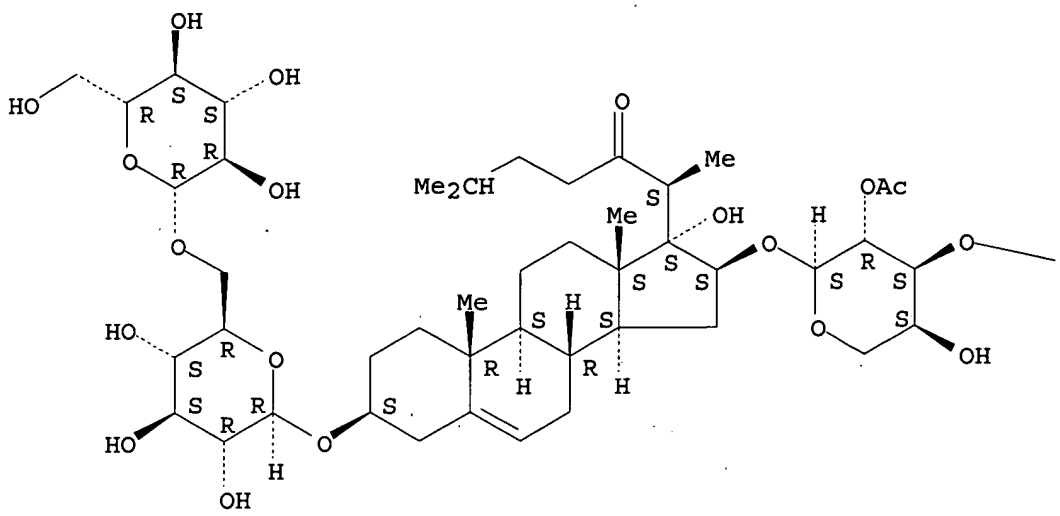


RN 474125-91-2 CAPLUS

CN Cholest-5-en-22-one, 16-[(2-O-acetyl-3-O-β-D-xylopyranosyl-α-L-arabinopyranosyl)oxy]-3-[(6-O-β-D-glucopyranosyl-β-D-glucopyranosyl)oxy]-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



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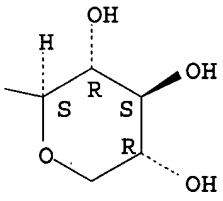
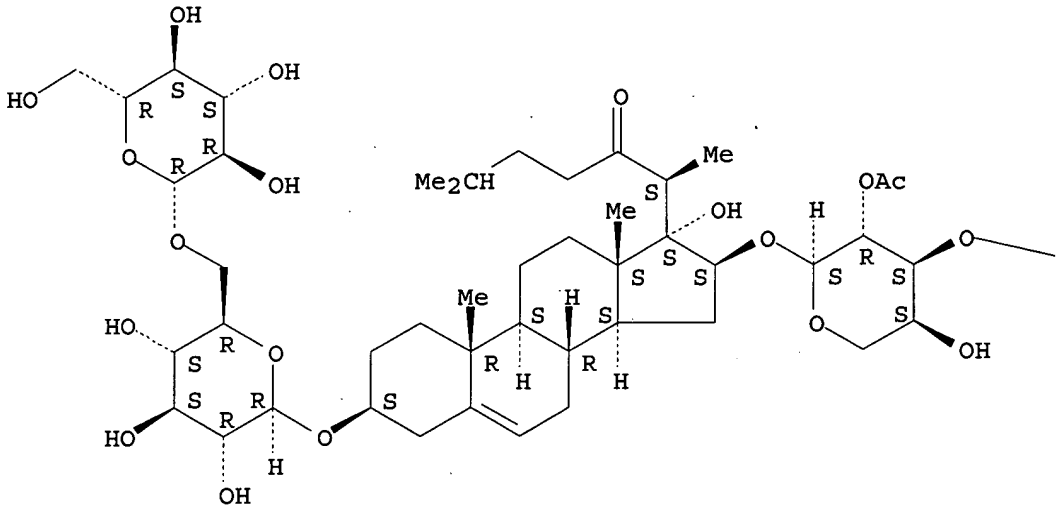


RN 474125-92-3 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(3,4-dimethoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3-[(6-O-β-D-glucopyranosyl-β-D-glucopyranosyl)oxy]-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



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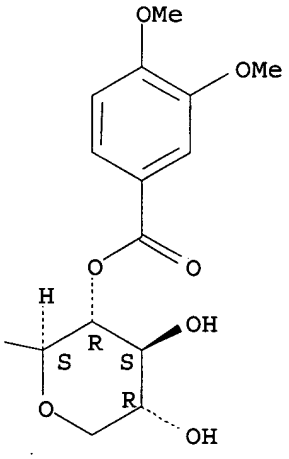
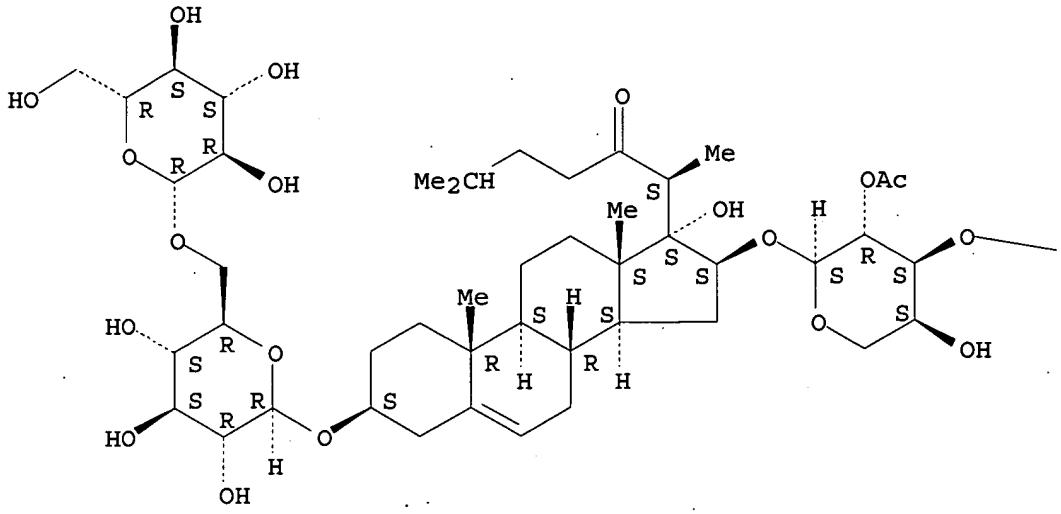


RN 474125-93-4 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(3,4,5-trimethoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3-[(6-O-β-D-glucopyranosyl-β-D-glucopyranosyl)oxy]-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



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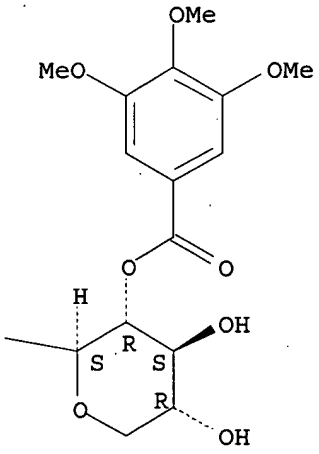
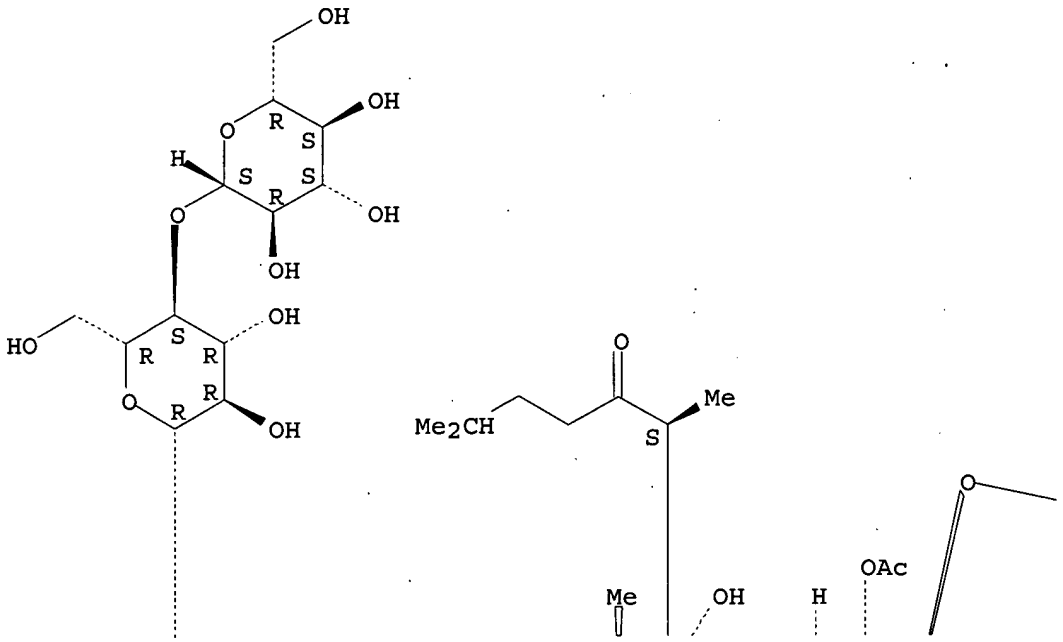


RN 474125-94-5 CAPLUS

CN Cholest-5-en-22-one, 16-[(2-O-acetyl-3-O-β-D-xylopyranosyl-α-L-arabinopyranosyl)oxy]-3-[(O-β-D-glucopyranosyl-(1→4)-O-β-D-glucopyranosyl-(1→6)-β-D-glucopyranosyl)oxy]-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



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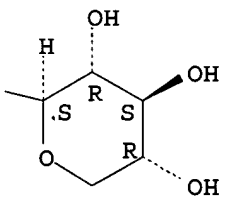

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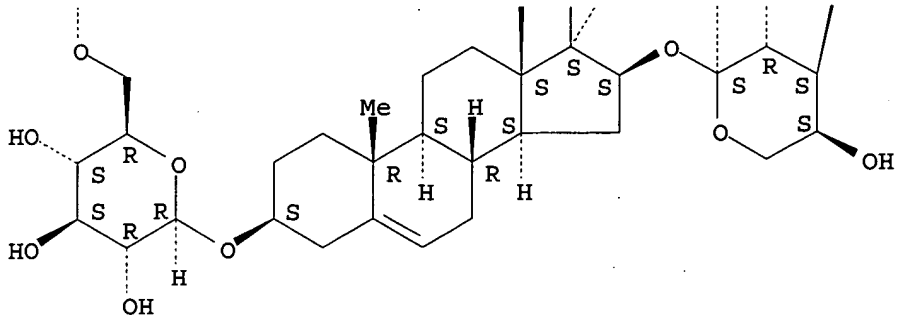
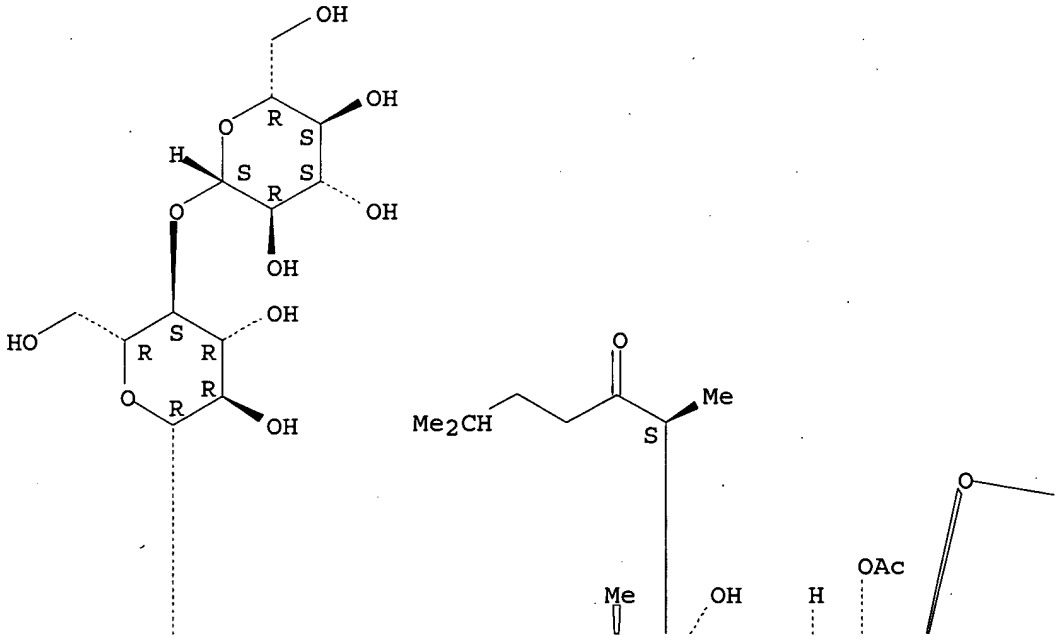
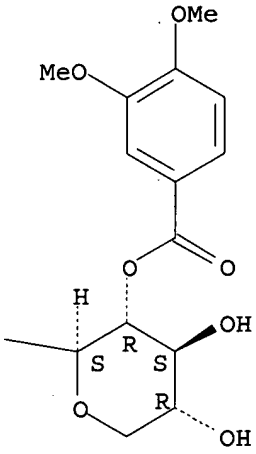


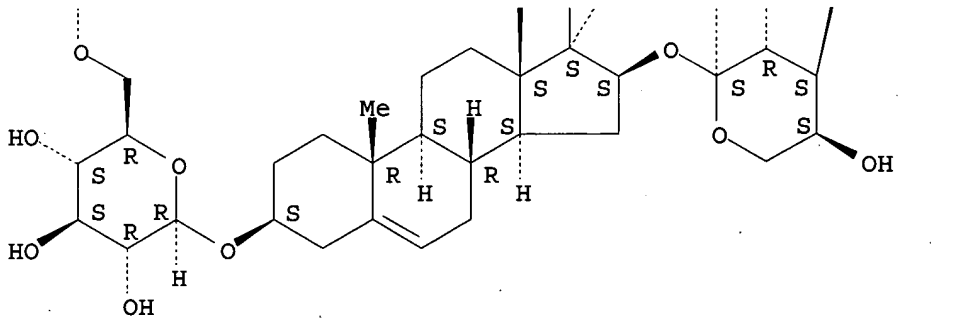
RN 474125-95-6 CAPLUS
CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(3,4-dimethoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3-[(O-β-D-glucopyranosyl-(1→4)-O-β-D-glucopyranosyl-(1→6)-β-D-glucopyranosyl)oxy]-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A





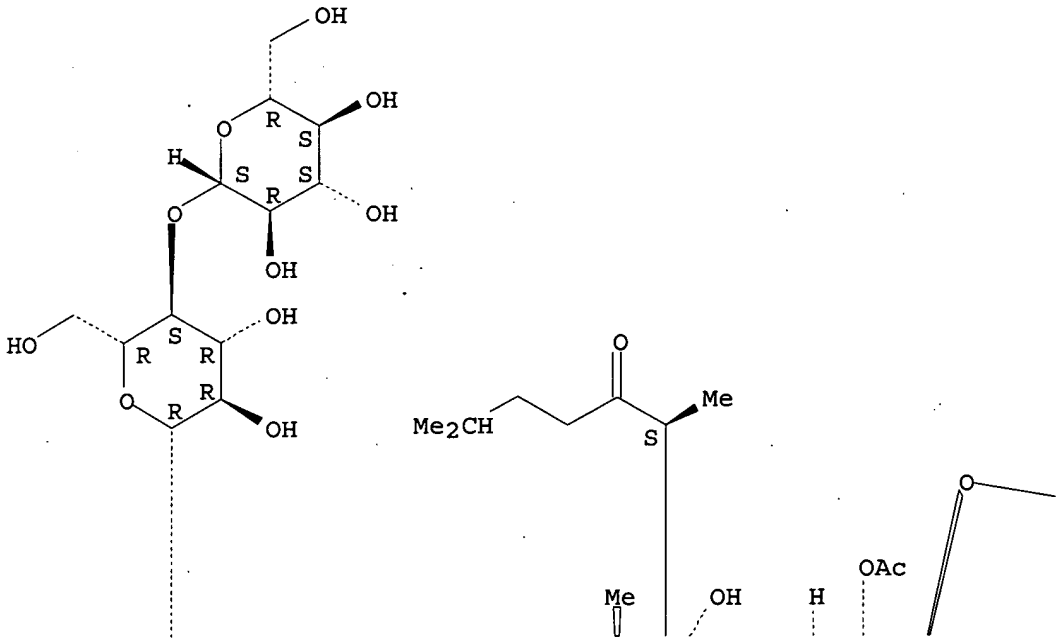


RN 474125-96-7 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(3,4,5-trimethoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3-[(O-β-D-glucopyranosyl-(1→4)-O-β-D-glucopyranosyl-(1→6)-β-D-glucopyranosyl)oxy]-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



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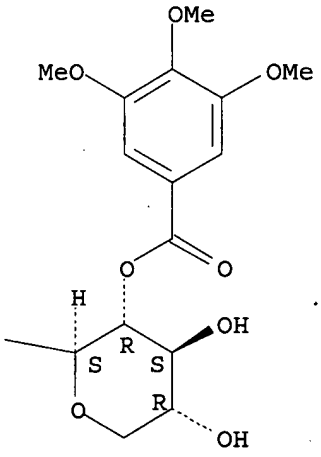

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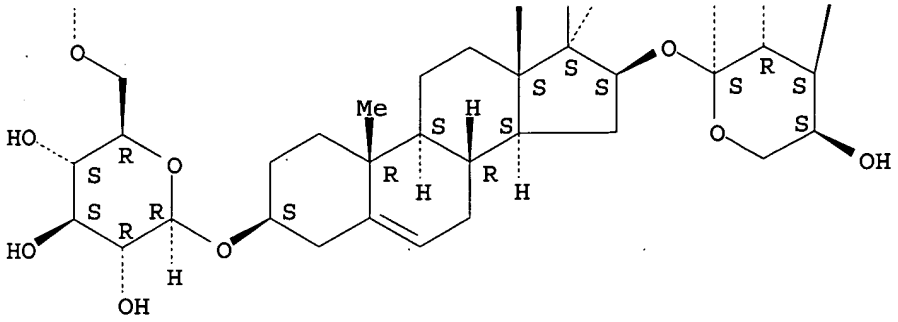
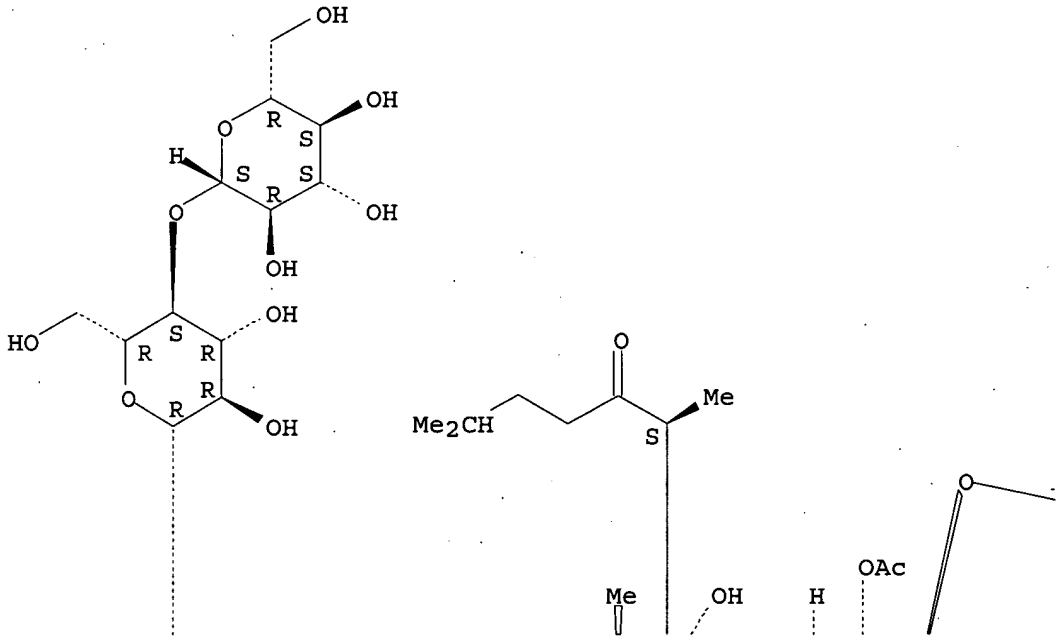
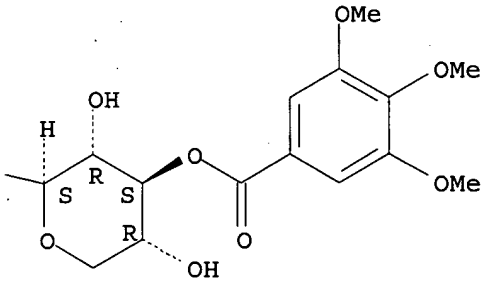


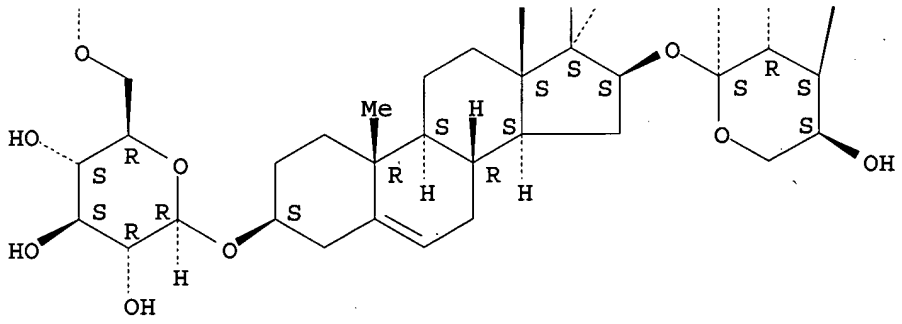
RN 629617-54-5 CAPLUS
CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[3-O-(3,4,5-trimethoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3-[(O-β-D-glucopyranosyl-(1→4)-O-β-D-glucopyranosyl-(1→6)-β-D-glucopyranosyl)oxy]-17-hydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





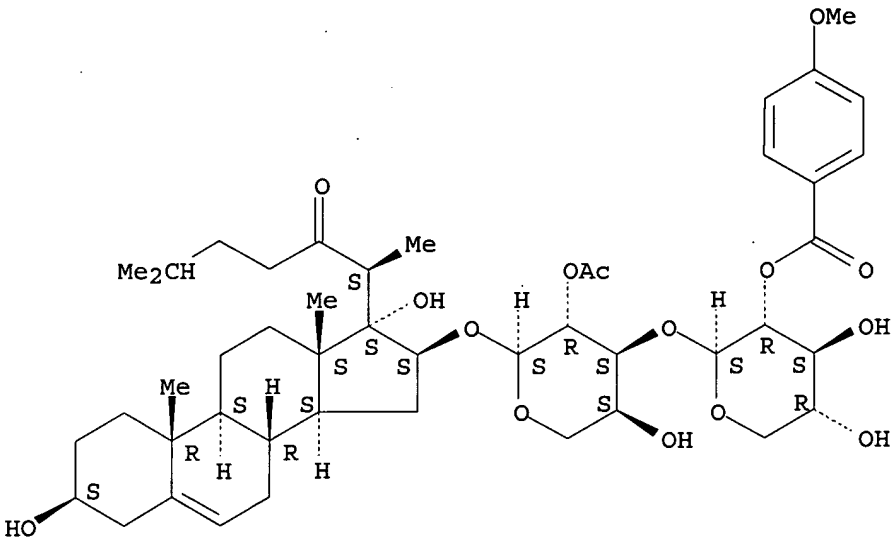


IT 145075-81-6DP, OSW-1, derivs.
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation)
(OSW-1 related antitumor compds. from the bulbs of Ornithogalum thyrsoides and their cytostatic activity on HL-60 cells)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L15 ANSWER 18 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:552629 CAPLUS
DOCUMENT NUMBER: 139:365103
TITLE: Approaches towards the synthesis of cephalostatins, ritterazines and saponins from Ornithogalum saundersiae - new natural products with cytostatic activity
AUTHOR(S): Gryszkiewicz-Wojtkielewicz, A.; Jastrzebska, I.; Morzycki, J. W.; Romanowska, D. B.
CORPORATE SOURCE: Institute of Chemistry, University of Bialystok, Bialystok, 15-443, Pol.
SOURCE: Current Organic Chemistry (2003), 7(12), 1257-1277
CODEN: CORCFE; ISSN: 1385-2728
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Secondary metabolites of marine invertebrates continue to attract the attention of organic chemists, biochemists, and pharmacologists due to their novel structures and potent biol. activities. One such example is cephalostatin 1 isolated from the Indian Ocean hemichordate Cephalodiscus gilchristi, which exhibited remarkable cytotoxic activity against a broad spectrum of malignant tumor cells. Similar marine alkaloids (e.g. ritterazine G) were found in the lipophilic extract of the tunicate Ritterella tokioka collected off the coast of Japan. These very potent compds., cephalostatins and ritterazines, belong to the large family of trisdecacyclic pyrazines, consisting of two steroid units. The two steroid halves of cephalostatin 1 and other highly cytotoxic members of the family are different. The biol. activity of the dimeric steroid-pyrazine marine alkaloids and their limited availability coupled with the new and intriguing structure make them an attractive challenge for the synthetic organic chemists. A few years ago a group of cholestane glycosides was isolated from the bulbs of Ornithogalum saundersiae, a species of the lily family without any medicinal folkloric background. Similar glycosides were recently isolated from Galtonia candicans. The major component of the mixture of saponins, OSW-1, exhibited sub-nanomolar antineoplastic activity. While OSW-1 is exceptionally cytotoxic against various tumor cells, it showed little toxicity to normal human pulmonary cells. The cytotoxicity profile of OSW-1 against different cancer cell lines was found to be surprisingly similar to that of the cephalostatins, which appears to imply a related mechanism of action. In this review article the synthetic efforts towards these compds. are described.

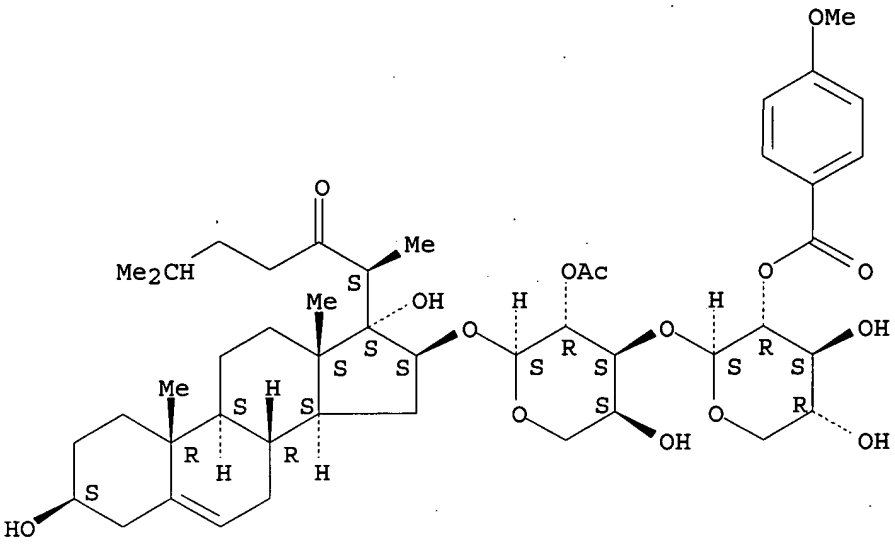
IT 145075-81-6DP, OSW-1, analogs

RL: PNU (Preparation, unclassified); PREP (Preparation)
(review of approaches towards the synthesis of cephalostatins, ritterazines and saponins from Ornithogalum saundersiae, new natural products with cytostatic activity)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 19 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:513715 CAPLUS

DOCUMENT NUMBER: 139:277054

TITLE: Total synthesis of A-nor B-aromatic OSW-1 aglycon: A highly effective approach to optically active trans-4,5-benzhydrindane

AUTHOR(S): Matsuya, Yuji; Itoh, Takakatsu; Nemoto, Hideo

CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Toyama Medical and Pharmaceutical University, Toyama, 930-0194, Japan

SOURCE: European Journal of Organic Chemistry (2003), (12), 2221-2224
CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:277054

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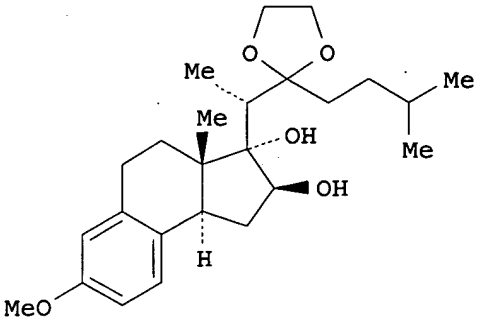


I

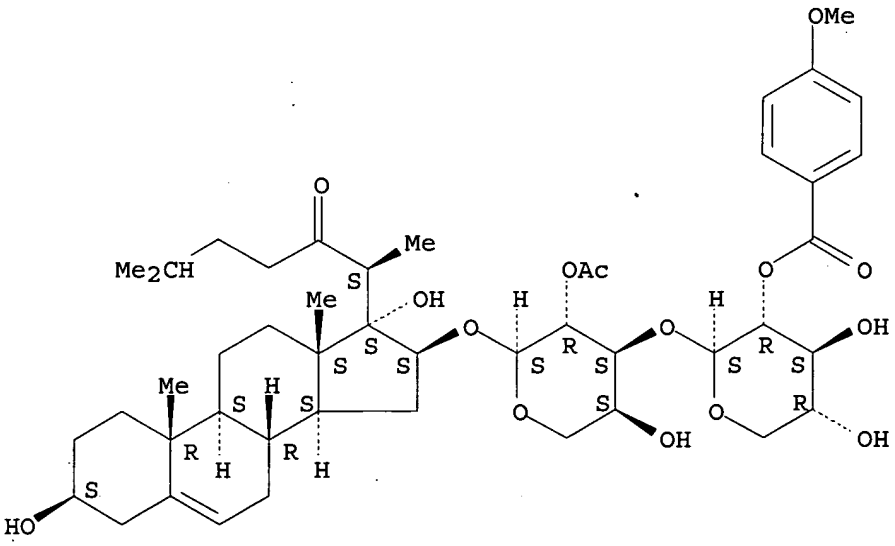
AB A new enantioselective approach to the trans-4,5-benzhydrindane skeleton I by intramol. cycloaddn. of o-quinodimethane, generated by thermolysis of a benzocyclobutene derivative, is described. Using this method, the synthesis of the A-nor B-aromatic aglycon of OSW-1, a potent antitumor saponin, was accomplished.

IT 145075-81-6P, OSW-1
RL: PNU (Preparation, unclassified); PREP (Preparation)
(total synthesis of A-nor B-aromatic OSW-1 aglycon as a highly effective approach to optically active trans-4,5-benzhydrindane)

RN 145075-81-6 CAPLUS

CN Cholest-5-en-22-one, 16-[[2-O-acetyl-3-O-[2-O-(4-methoxybenzoyl)-β-D-xylopyranosyl]-α-L-arabinopyranosyl]oxy]-3,17-dihydroxy-, (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT